```
=>
Uploading C:\Program Files\Stnexp\Queries\rkc645b.str

L3      STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l3 ful
FULL SEARCH INITIATED 18:59:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      646 TO ITERATE

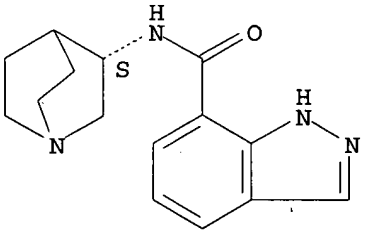
100.0% PROCESSED      646 ITERATIONS                                27 ANSWERS
SEARCH TIME: 00.00.01

L4           27 SEA SSS FUL L3

=> d 1-27

L4   ANSWER 1 OF 27  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   677306-79-5  REGISTRY
ED   Entered STN:  28 Apr 2004
CN   1H-Indazole-7-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-,
     monohydrochloride (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C15 H18 N4 O . Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
CRN  (677306-77-3)

Absolute stereochemistry.
```



● HCl

```
              1 REFERENCES IN FILE CA (1907 TO DATE)
              1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4   ANSWER 2 OF 27  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   677306-77-3  REGISTRY
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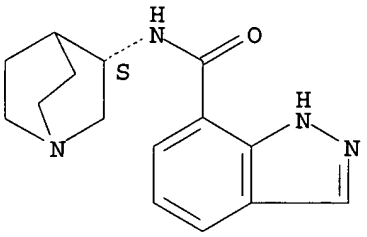
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-7-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

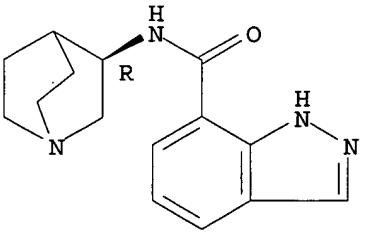


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 3 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-76-2 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-7-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, monohydrochloride (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
CRN (677306-75-1)

Absolute stereochemistry.



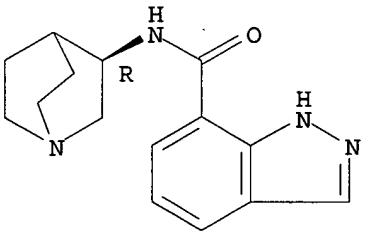
● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 4 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-75-1 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-7-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

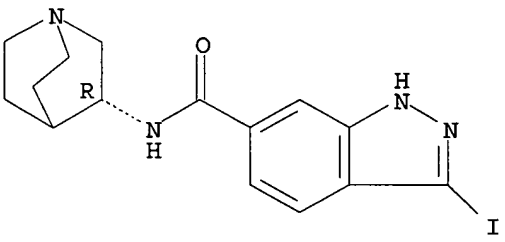


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 5 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-66-0 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-iodo- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H17 I N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

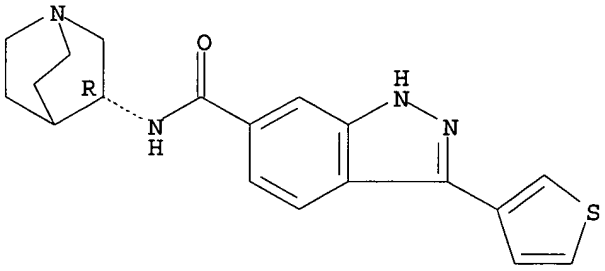
L4 ANSWER 6 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-64-8 REGISTRY
ED Entered STN: 28 Apr 2004

CN Formic acid, compd. with N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-(3-thienyl)-1H-indazole-6-carboxamide (1:1) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H20 N4 O S . C H2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

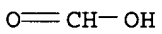
CRN 677306-63-7
CMF C19 H20 N4 O S

Absolute stereochemistry.



CM 2

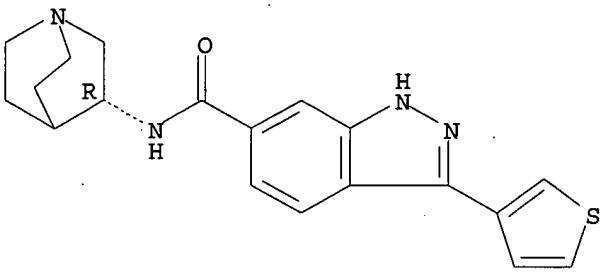
CRN 64-18-6
CMF C H2 O2



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 7 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-63-7 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-(3-thienyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H20 N4 O S
CI COM
SR CA

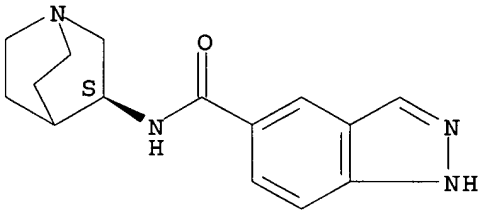
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 ANSWER 8 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-49-9 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-5-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

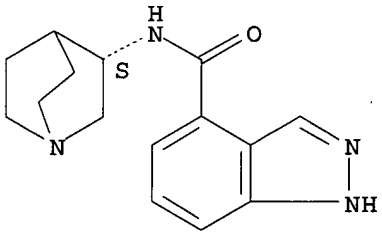


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 9 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-39-7 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-4-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

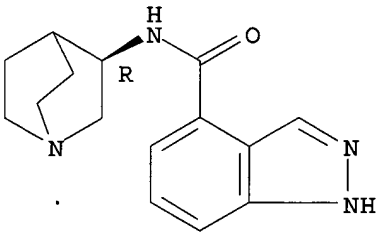


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 10 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 677306-36-4 REGISTRY
ED Entered STN: 28 Apr 2004
CN 1H-Indazole-4-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

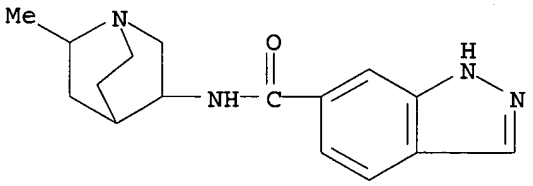
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

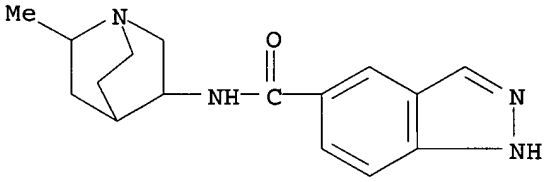
L4 ANSWER 11 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 521278-46-6 REGISTRY
ED Entered STN: 28 May 2003
CN 1H-Indazole-6-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)
MF C16 H20 N4 O
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

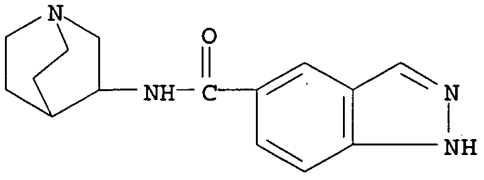
L4 ANSWER 12 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 521278-43-3 REGISTRY
ED Entered STN: 28 May 2003
CN 1H-Indazole-5-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)
MF C16 H20 N4 O
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 13 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478828-23-8 REGISTRY
ED Entered STN: 13 Jan 2003
CN 1H-Indazole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
MF C15 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

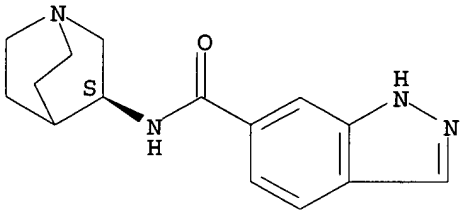


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 14 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-73-9 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.

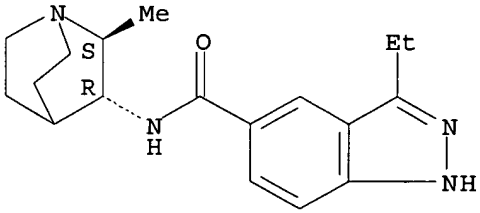


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 15 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-35-3 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-5-carboxamide, 3-ethyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H24 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



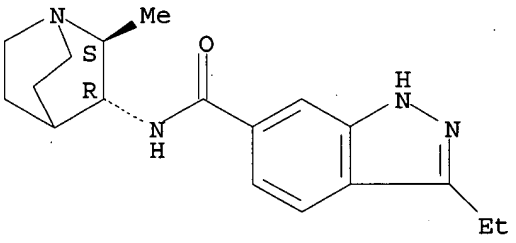
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 16 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-34-2 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, 3-ethyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H24 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

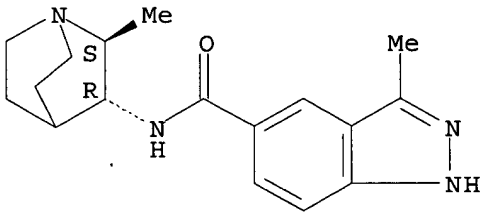


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 17 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-33-1 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-5-carboxamide, 3-methyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H22 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



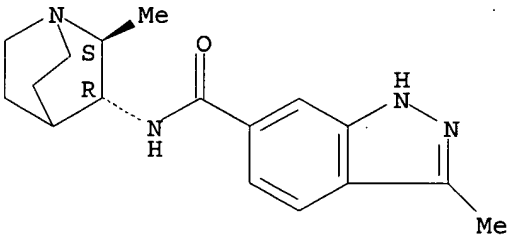
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 18 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-32-0 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, 3-methyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H22 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

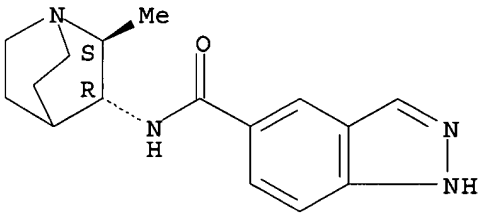


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 19 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-31-9 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-5-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H20 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



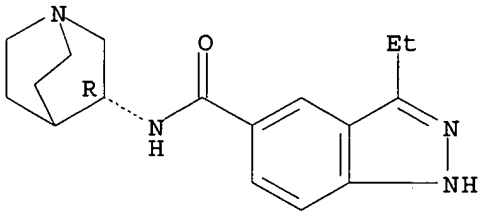
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 20 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-05-7 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-ethyl-

(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H22 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

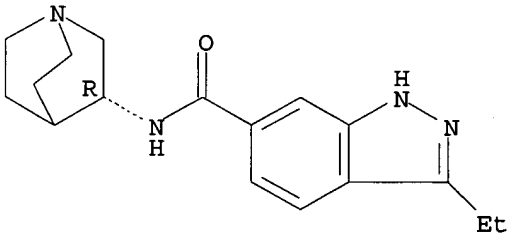


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 21 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-04-6 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-ethyl-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H22 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



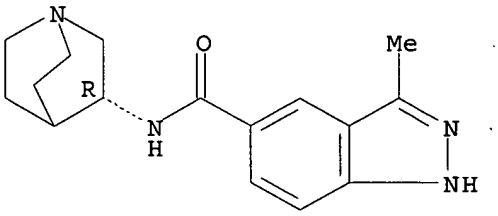
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 22 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-03-5 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H20 N4 O

SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

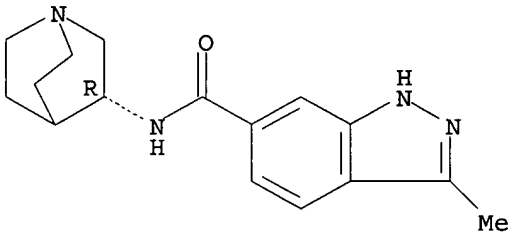


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 23 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-02-4 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H20 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

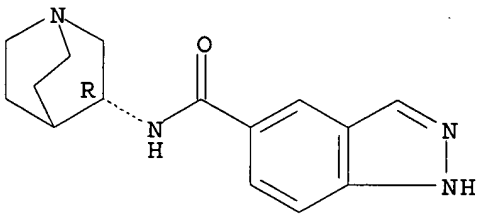


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 24 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478170-01-3 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA
INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 25 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478169-97-0 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)
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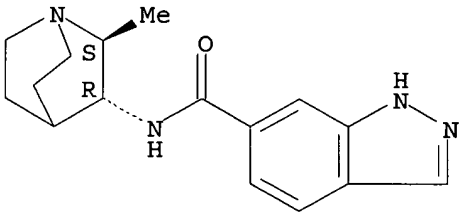
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

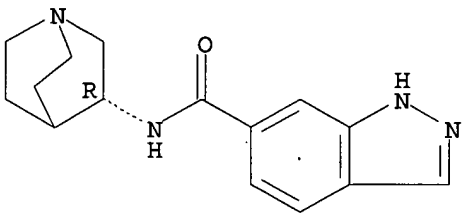
L4 ANSWER 26 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478169-76-5 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 N4 O . C4 H4 O4
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

CM 1

CRN 478169-75-4

CMF C15 H18 N4 O

Absolute stereochemistry.



CM 2

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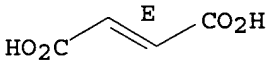
Double bond geometry as shown.



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 27 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
RN 478169-75-4 REGISTRY
ED Entered STN: 06 Jan 2003
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
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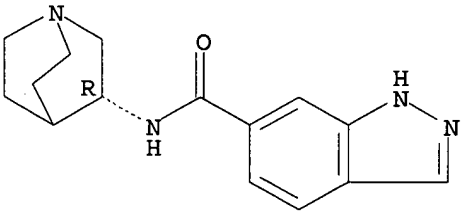
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



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5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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                                                 ENTRY    SESSION
FULL ESTIMATED COST                             387.82     388.03

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FILE LAST UPDATED: 7 Nov 2006  (20061107/ED)

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L5   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:633526  CAPLUS
DN   141:167817
TI   Treatment of diseases with alpha-7 NACh receptor full agonists
IN   Groppi, Vincent Edward, Jr.; Rogers, Bruce Nelsen; Rudmann, Daniel Gregory
PA   Pharmacia & Upjohn Company, USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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US 2006019984	A1	20060126	US 2004-761914		20040121
			US 2003-441801P	P	20030122

OS MARPAT 141:167817

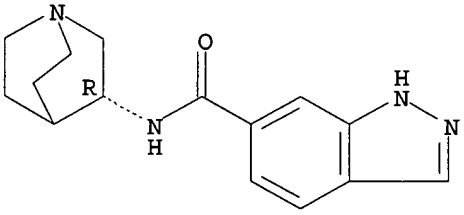
AB The present invention relates to compositions and methods to treat diseases or conditions with alpha-7 nicotinic acetylcholine receptor (AChR) full agonists by decreasing levels of tumor necrosis factor-alpha and/or by stimulating vascular angiogenesis.

IT 478169-75-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:513575 CAPLUS

DN 141:71755

TI Preparation of N-(quinuclidinyl)heteroarylamides as nicotinic acetylcholine receptor agonists for use in combination therapy for the

treatment of ADHD

IN Groppi, Vincent Edward, Jr.; Jacobsen, Eric Jon; Myers, Jason Kenneth; Piotrowski, David Walter; Rogers, Bruce Nelsen; Walker, Daniel Patrick; Wishka, Donn Gregory

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2004052461	A1	20040624	WO 2003-IB5542		20031128
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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	EP 1572300	A1	20050914	EP 2003-775637		20031128
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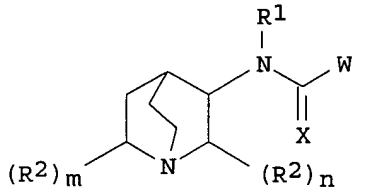
OS MARPAT 141:71755

GI



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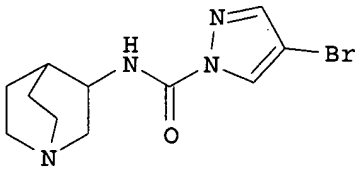


II

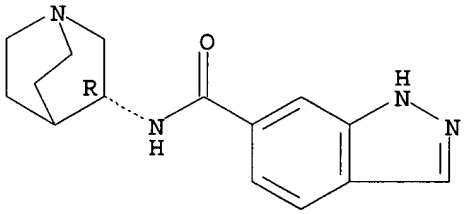
AB Title N-(1-azabicyclo[2.2.2]octyl)heteroarylamides I and analogs [wherein X = o, S; R1 = H, (halo)alkyl, cycloalkyl, substituted Ph, naphthyl; R2 = independently halo, cycloalkyl, aryl, (un)substituted alkyl; m = 0-1; n = 0-1; with the proviso that m + n = 1; W = (un)substituted Ph, heterocyclyl, heteroaryl; or pharmaceutically acceptable salts, racemic mixts., or pure enantiomers thereof] were prepared as α7 nicotinic acetylcholine receptor (nAChR) full agonists (no data). For example, reaction of phosgene with 4-bromopyrazole in EtOAc, followed by coupling with (+)-3-aminoquinuclidine•2HCl provided II•HCl (25%). The invention provides for compns. of I with psychostimulants and/or monoamine reuptake inhibitors for the treatment of attention deficit hyperactivity disorder (ADHD).

IT 478169-75-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:513522 CAPLUS

DN 141:71300

TI A preparation of azabicycloalkane derivatives, useful as α7 nicotinic acetylcholine receptor (α7 nAChR) agonists

IN Corbett, Jeffrey Wayne; Groppi, Vincent Edward, Jr.

PA Upjohn Company, USA

SO PCT Int. Appl., 151 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2004052348 A2 20040624 WO 2003-IB5525 20031128
WO 2004052348 A3 20041021
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WO 2003-IB5525 A 20031128

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JP 2006510662 T2 20060330 JP 2004-558917 20031128
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WO 2003-IB5525 W 20031128

US 2005245504 A1 20051103 US 2003-731565 20031209
US 2002-432527P P 20021211

OS MARPAT 141:71300
GI

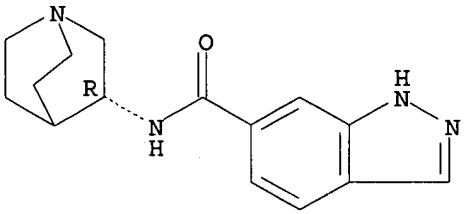
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to azabicycloalkane derivs. of formula azabicyclo-N(R1)-C(:X)-W [wherein: R1 is H, (cyclo)alkyl, or haloalkyl, etc.; X is O or S; W is a substituted benzene], useful as α7 nAChR agonists. Pharmacokinetics of the prepared compds. were evaluated (no biol. data). Blood-brain barrier penetration was investigated (no biol. data). For instance, chiral azabicycloheptane derivative I was prepared via addition of Me
3-bromopropargylate to N-Boc-pyrrole, reduction of the obtained azabicyclo[2.2.1]heptadiene II, hydrolysis of the obtained azabicycloheptane derivative III (R2 = OMe), reaction of the carboxylic acid III (R2 = OH) with diphenylphosphoryl azide and benzyl alc., resolution of the obtained exo-derivative IV, and hydrogenation.

IT 478169-75-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicycloalkane derivs. useful as α7 nAChR agonists)

RN 478169-75-4 CAPLUS
CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:287845 CAPLUS
DN 140:321562
TI Preparation of quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compositions as nicotinic acetylcholine receptor ligands
IN Tehim, Ashok; Herbert, Brian; Nguyen, Truc Minh; Xie, Wenge; Gauss, Carla Maria
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
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LA English
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PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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OS MARPAT 140:321562

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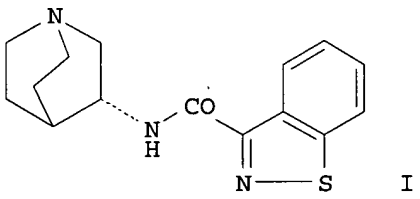


I

AB Quinuclidine derivs., such as RNHC(:X)W, RC(:X)NHW, RNHCH2W and RCH2NHW [R = quinuclidinyl; W = indazolyl, benzothiazolyl, benzoisothiazolyl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor α7 (α7 nAChR) ligands for the treatment of psychotic or neurodegenerative diseases and disorders involving dysfunction of the cholinergic system. These quinuclidines are claimed for use in the treatment of dementia or memory impairment due to mild cognitive impairment due to Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, depression, aging, head trauma, stroke, CNS hypoxia, cerebral senility, or multiinfarct dementia. These quinuclidines are also claimed for use in the treatment of intoxication, damage associated with strokes, ischemia and glutamate-induced excitotoxicity, smoking cessation or nicotine addiction, pain, jet lag, obesity, diabetes, mild cognitive impairment (MCl), vascular dementia (VaD), age-associated cognitive decline (AACD), amnesia

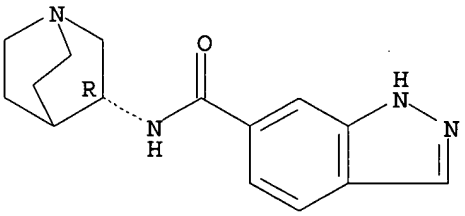
associated with open-heart-surgery, cardiac arrest, general anesthesia, memory deficits from exposure to anesthetic agents, sleep deprivation induced cognitive impairment, chronic fatigue syndrome, narcolepsy, AIDS-related dementia, epilepsy-related cognitive impairment, Down's syndrome, alcoholism related dementia, drug/substance induced memory impairments, dementia puglistica (boxer syndrome), or loss of cholinergic synapses. Thus, N-quinuclidinyl-amide I was prepared via an amidation reaction of 1,2-benzisothiazole-3-carboxylic acid with 3-(R)-aminoquinuclidine dihydrochloride in a 5/1 mixture of THF/DMF using diisopropylethylamine and HATU. α7 NAChR activity of the prepared quinuclidines were determined using rat brain tissue in a competition assay with [3H]-MLA.

IT 478169-75-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compns. as nicotinic acetylcholine receptor ligands)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:356448 CAPLUS

DN 138:368781

TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

IN Walker, Daniel P.; Jacobsen, Eric Jon; Piotrowski, David W.; Wishka, Donn G.; Corbett, Jeffrey W.; Groppi, Vincent E., Jr.; Acker, Brad A.; Rauckhorst, Mark R.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037896	A1	20030508	WO 2002-US31579	20021017
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UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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				US 2001-342674P	P 20011221
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				US 2001-342674P	P 20011221
				WO 2002-US31579	W 20021017
EP 1438308		A1	20040721	EP 2002-784010	20021017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
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JP 2005511574		T2	20050428	JP 2003-540177	20021017
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OS MARPAT 138:368781
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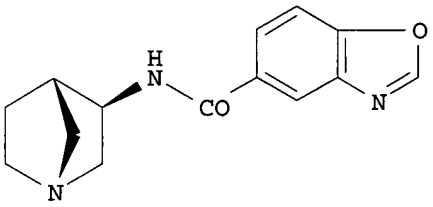


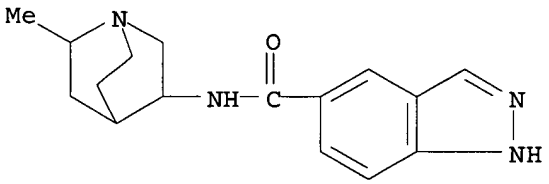
I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or

symptoms associated with pain. Thus, the fumarate salt of amide I was prepared via a multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-(phenylmethyl)pyrrolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the corresponding azabicyclic amine with 1,3-benzoxazole-5-carboxylic acid. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

IT 521278-43-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 521278-43-3 CAPLUS
CN 1H-Indazole-5-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:964354 CAPLUS
DN 138:24866
TI Preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for the treatment of a variety of central nervous system disorders
IN Walker, Daniel P.; Wishka, Donn G.; Corbett, Jeffrey W.; Rauckhorst, Mark R.; Piotrowski, David W.; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100858	A2	20021219	WO 2002-US16570	20020606
	WO 2002100858	A3	20030220		
	WO 2002100858	C1	20031224		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,

GN, GQ, GW, ML, MR, NE, SN, TD, TG

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			US 2002-373496P	P	20020418
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			US 2001-328548P	P	20011011
			US 2002-373496P	P	20020418
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US 6828330	B2	20041207			
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			US 2001-297632P	P	20010612
			US 2001-297633P	P	20010612
			US 2001-328548P	P	20011011
			US 2002-373496P	P	20020418
EP 1404674	A2	20040407	EP 2002-778934		20020606
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			WO 2002-US16570	W	20020606
JP 2004534065	T2	20041111	JP 2003-503625		20020606
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OS MARPAT 138:24866

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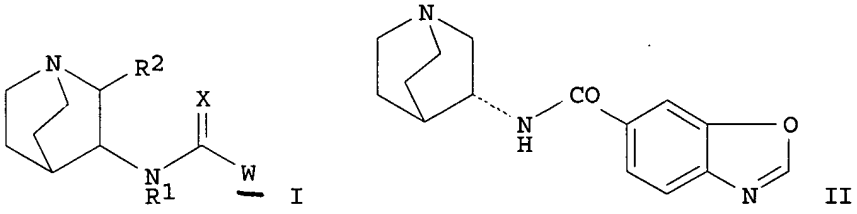


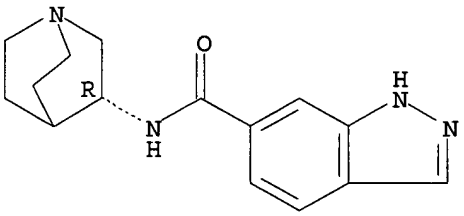
AB N-quinuclidinyl-heteroaryls, such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = aryl, heteroaryl; X = O, S], were prepared for therapeutic use in the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of (3R)-N-quinuclidinyl amide II was prepared via the formation of 6-benzoxazolecarboxylic acid in 89% yield by cyclization of 4-amino-3-hydroxybenzoic acid and (MeO)3C at 100° for 2 h followed by amide formation of the acid with (R)-(+)-3-aminoquinuclidine dihydrochloride using DIEA in a 5:1 mixture of THF/DMF and subsequent fumarate salt formation. The prepared quinuclidine derivs. were assayed for nicotinic acetylcholinergic receptor binding activity using brain cell membrane prepared from male Sprague-Dawley rats.

IT 478169-75-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for treatment of a variety of central nervous system disorders)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:964330 CAPLUS
DN 138:39295
TI Preparation of heterocyclic compounds as Rho-kinase inhibitors
IN Imazaki, Naonori; Kitano, Masafumi; Ohashi, Naohito; Matsui, Kazuki
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 425 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100833	A1	20021219	WO 2002-JP5609	20020606
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			JP 2001-176826	A 20010612
			JP 2001-398992	A 20011228
EP 1403255	A1	20040331	EP 2002-733352	20020606
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OS MARPAT 138:39295
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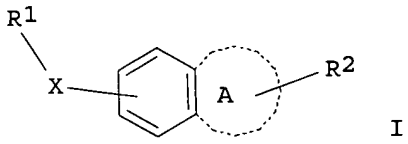


I

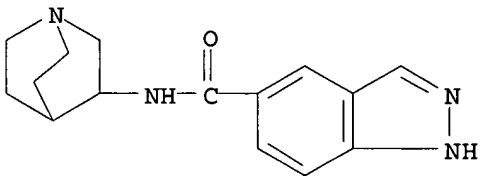
AB The title compds. I [wherein one to four groups represented by the general

formula R1-X are present and may be the same or different from each other; A is a saturated or unsatd. five-membered heterocycle; X is a single bond, N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; R2 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3 is hydrogen, substituted or unsubstituted alkyl, or the like] are prepared N-(1-Benzyl-4-piperidinyl)-1H-indazole-5-amine dihydrochloride monohydrate in vitro showed IC50 of 0.4 μL/mL against Rho-kinase.

IT 478828-23-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as Rho-kinase inhibitors)

RN 478828-23-8 CAPLUS

CN 1H-Indazole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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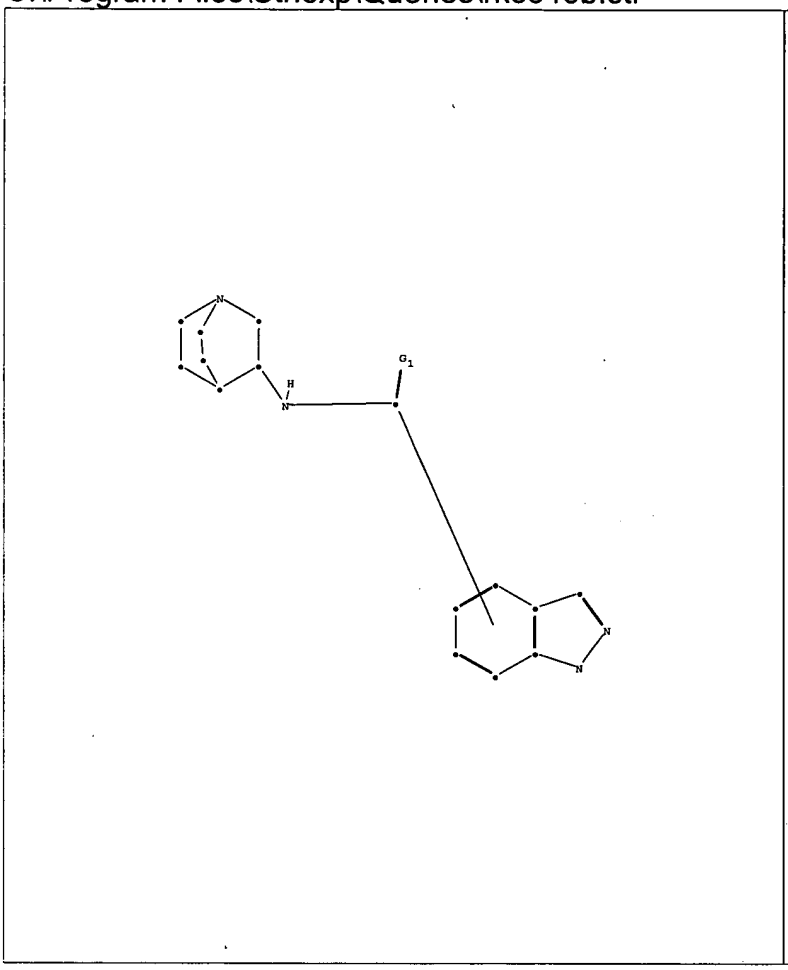

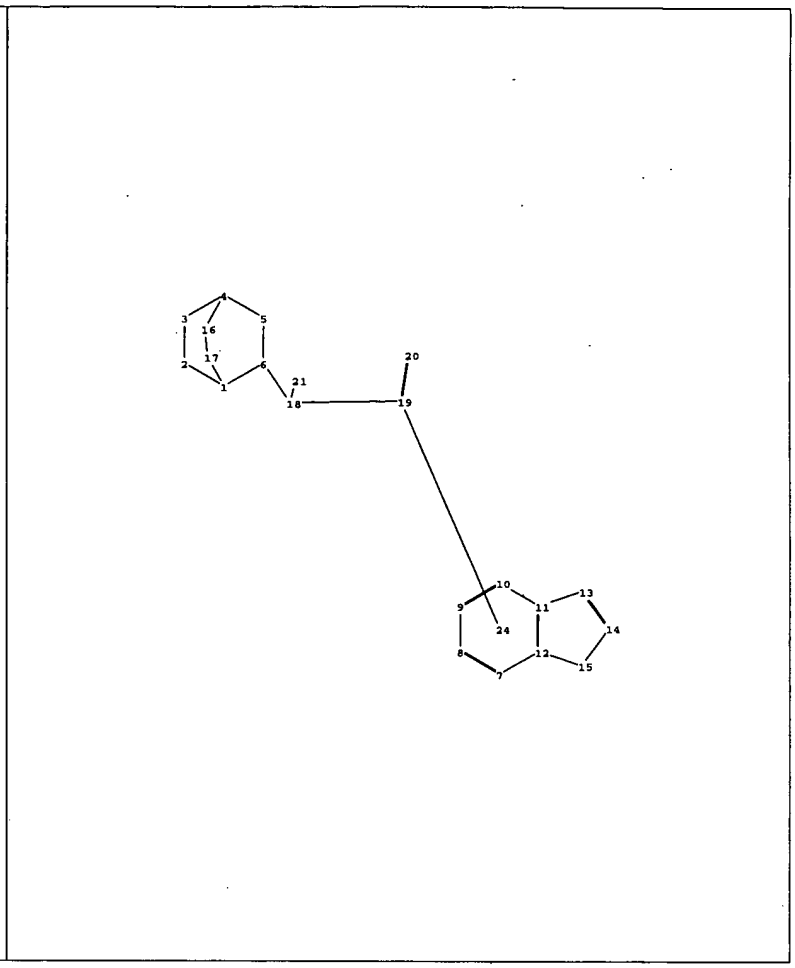

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ring nodes :
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ring bonds :
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16-17
exact/norm bonds :
1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 6-18 11-13 12-15 13-14 14-15 16-17 18-19 19-20
exact bonds :
18-21
normalized bonds :
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isolated ring systems :
containing 1 : 7 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
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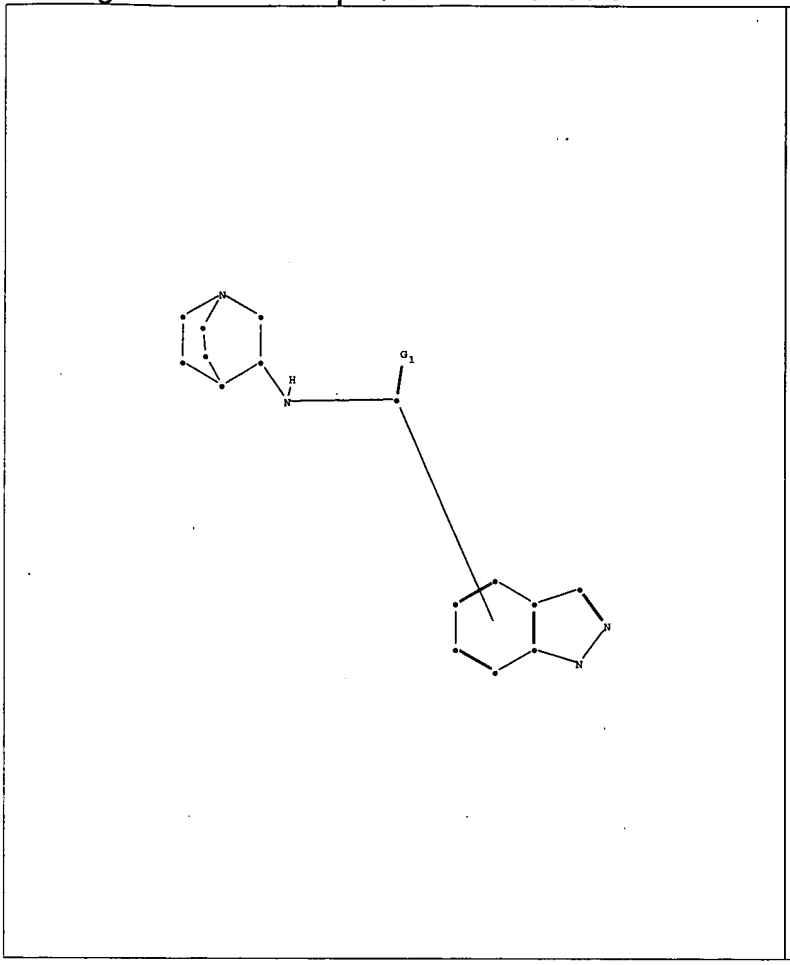

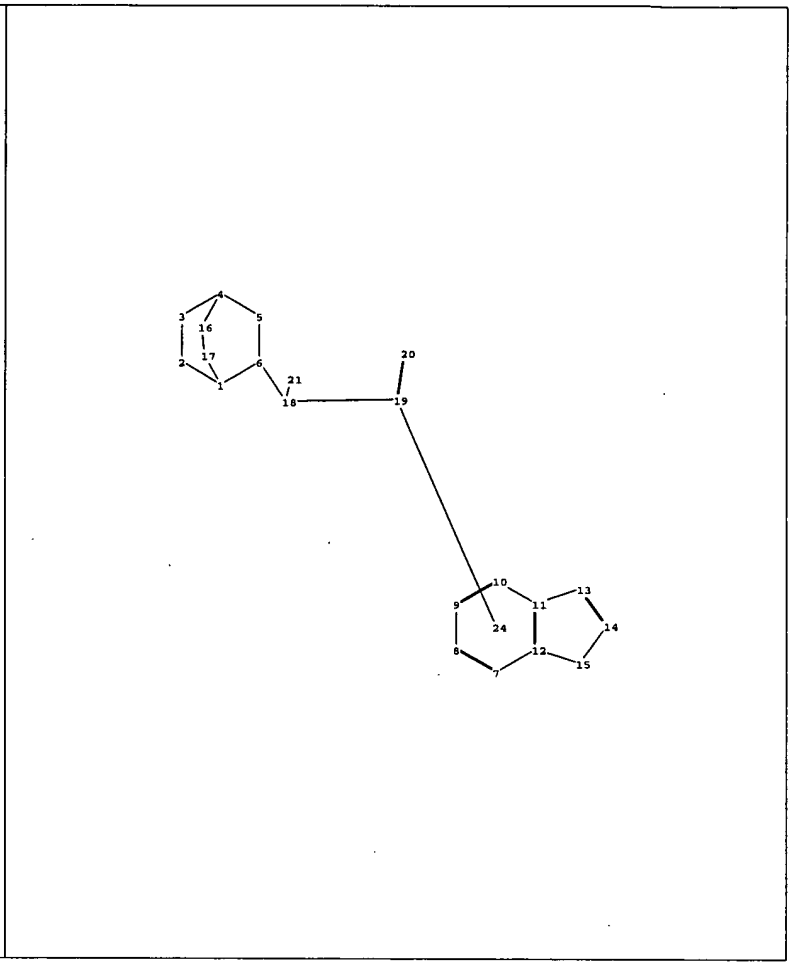

chain nodes :
18 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
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ring bonds :
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16-17
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G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 24:CLASS